**Time: 3 hours** **Total marks:75**

**N.B. : 1. All questions are compulsory**
**2. Figures to right indicate full marks**

**I. Choose appropriate option for following multiple choice based questions. 20**

**1** The piperazine nucleus is present in all of the following drugs except
a. Cetirizine
b. Chlorocyclizine
c. Meclizine
d. Phenindamine

**2** The gauche conformer of histamine has a preferred affinity for ____receptor/s
a. H1
b. H2
c. H3
d. H1 and H2

**3** The active intermediate of proton pump inhibitors that is responsible for inhibiting the H+/K+ ATPase pump is
a. Sulphonamide
b. Sulphonyl
c. Sulphacetamide
d. Sulfenamide

**4** Anticancer drug metabolized by Xanthine Oxidase is _____
a. Allopurinol
b. Methotrexate
c. Vincristine
d. 6-Mercaptopurine

**5** Identify the correct pair from the following.
a. Thiotepa: Mesna
b. Busulfan: Aziridinium ion
c. Chlorambucil: L-isomer
d. 5-Flurouracil: False substrate

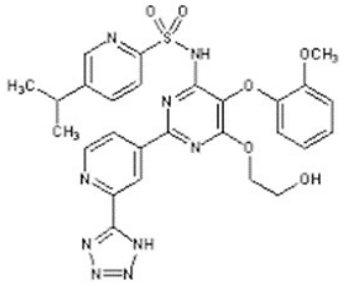
**6** Choose the correct non-dihydropyridine calcium channel blocker
a. Nifedipine
b. Felodipine
c. Bepridil
d. Nicardipine

**7** Chlorthiazide inhibits
a. Carbonic anhydrase
b. $Na^+/K^+/2Cl^-$ cotransporter
c. $Na^+/Cl^-$ symporter
d. $Ca^{+2}$ transporter

**8** Isoquinoline ring is present in _____.
- a. Enalapril
- b. Captopril
- c. Lisinopril
- d. Quinapril

**9** Amyl nitrite is an ester of _____& _____
- a. Amyl alcohol & nitrous acid
- b. Isoamyl alcohol & nitrous acid
- c. Amyl alcohol & nitric acid
- d. Isoamyl alcohol & nitric acid

**10** Identify the following drug



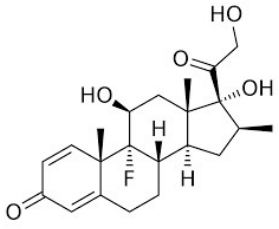
- a. Nesiritide
- b. Tozesentan
- c. Bosentan
- d. Disopyramide

**11** Select the incorrect statement. Sotalol acts by _____.
- a. Potassium channel blockage
- b. Increasing repolarization phase
- c. Shortening repolarization phase
- d. Inhibition of β stimulation

**12** Clopidogrel acts by
- a. Inhibiting platelet aggregation
- b. Antagonizes Vitamin K
- c. Inhibits carboxylation of precursor protein
- d. Stimulating coagulation

**13** Antihyperlipoproteinemics like statins mimic -----.
- a. Mevalonic acid
- b. Tetrahedral intermediate in Mevalonic acid pathway
- c. HMG CoA
- d. Mevastatin

**14** Identify the drug




a. Dexamethasone
b. Prednisolone
c. Betamethasone
d. Hydrocortisone

**15** Hydrocortisone is a reduced form of cortisone. Reduction takes place at _____.

a. 3-one
b. 11-one
c. Double bond between C3 and C4
d. 20-one

**16** To which chemical class does Tolbutamide belong to

a. Sulphonyl ureas
b. Meglitinides
c. Thiazolidinediones
d. Biguanides

**17** The starting material for the synthesis of Benzocaine

a. p- amino benzoic acid
b. p- amino benzoate
c. m-nitro benzoic acid
d. o-nitro benzoic acid

**18** Sildenafil contains _____ ring.

a. Pyrazolopyridoindole
b. Pyrazolopyrimidine
c. Pyrazinopyridine
d. Imidazoindole

**19** Synthetic thyroxine is available in _____ form.

a. Levo
b. dextro
c. meso
d. racemic

**20** The primary mechanism of action of local anasthetic is

a. Activation of ligand-gated potassium channels
b. Blockade of voltage-gated sodium channels
c. Stimulation of voltage-gated N-type calcium channels
d. Blockade the GABA-gated chloride channels

**II. Long Answer Questions (Answer any 2 out of 3)** **20**

**Q1** A) Indicate the mechanistic class of cyclophosphamide. Illustrate the chemistry behind its mechanism of action and depict its activation pathway in detail. **4**

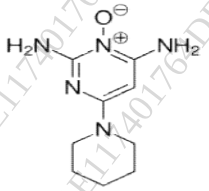
B) Outline the mechanism of action of Doxorubicin and Vincristine. (structure not required) **4**

C) 5-Fluorouracil is a prodrug. State true or false. Justify **2**

**Q2** A) Discuss rationale development of ACE inhibitor containing thiol group. **4**

B) Outline the synthesis of furosemide mentioning the reagents,intermediates and the reaction conditions. **4**

C) Identify the structure given below & depict its bioactivation. **2**



**Q3** A) Classify local anaesthetic chemically with one structure of each class and describe its mechanism of action. **4**

B) Discuss 4 structural modifications in corticosteroids to enhance glucocorticoid activity. Support your answer with relevant structures. **4**

C) Give an example of hypoglycemic containing sugar moiety. Name the mechanistic class to which it belongs. **2**

III. Short Answer Questions (Answer 7 out of 9) **35**

**Q1** Write target enzyme of Pantoprazole and highlight the advantage of proton pump inhibitors over other drugs used in hyperacidity. Depict the activation of Pantoprazole. **5**

**Q2** i) Match the following: **3**

| | Name | | Nucleus | | Mechanistic class |
|---|---|---|---|---|---|
| 1 | Diltiazem | a | Steroid Lactones | i | Calcium channel blocker |
| 2 | Spironolactone | b | Benzothiazepine derivative | ii | Carbonic anhydrase inhibitor |
| 3 | Dichlorphenamide | c | 1,3-disulfonamide derivative | iii | Aldosterone antagonist |

ii) Give schematic representation of binding interactions between ACE inhibitors/substrate and angiotensin converting enzyme **2**

**Q3** Explain mode by which the following drugs exert their action. Mention the clinical condition in which they are used. **5**

a. Nesiritide

b. Menadione

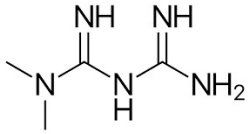
**Q4** Draw the structure of estradiol and give its IUPAC nomenclature. What is the effect of the following: **5**

i) Addition of hydroxyl group at 6,7 and 11 position

ii) Substitution of 17α position with ethynyl group

iii) Removal of-OH group at C3

**Q5** Answer the following questions with resepect to given structure. **5**



i) Identify the drug.
ii) Which class this drug belongs to?
iii) Comment on mechanism of action of the drug
iv) Write its therapeutic use
v) Write the prominent adverse effect.

**Q6** Classify antiarrhythmic drugs based on mechanism of action. Give one example and structure of each class. **5**

**Q7** Outline the synthesis of Tolbutamide with reaction conditions and necessary reagents and write its mechanism of action and use. **5**

**Q8** i) Outline synthesis of Warfarin mentioning the reagents and reaction conditions. **3**
ii) Outline the mechanism of fibrates and give an example and structure of drug belonging to this class. **2**

**Q9** i) What is the advantage of 2nd generation H1 antagonist over 1st generation. Which structural characteristics contribute to these advantages. Draw the structure of any one 2nd generation H1 antagonist. **3**
ii) Why o,o-dichloro substitution is necessary in clonidine? Justify. **2**